ABSTRACT OF THE INVENTION

The present invention is a method for preparing 2-halo-6-aminopurines, and more specifically for preparing the clinical agent cladribine (2-chloro-2'-deoxyadenosine, CldAdo, 4), a drug of choice against hairy-cell leukemia and other neoplasms, from 2-amino-6-oxopurines, which are readily obtained from the naturally occurring compound 2'-deoxyguanosine. According to the methods of the present invention, the 6-oxo group of a protected 2'-deoxyguanosine (1) is converted to a 6-(substituted oxy) leaving group, or alternatively to a 6-chloro leaving group, the 2-amino group is replaced with a 2-chloro group, the 6-(substituted oxy) leaving group, or alternatively the 6-chloro leaving group, is replaced with a 6-amino group or, alternatively, a 2,6-dichloro substituted compound is selectively replaced with a 6-amino group, and the protecting groups are removed.